Europäisches Patentamt
European Patent Office
Office européen des brevets



(11) EP 1 609 785 A1

(12)

# EUROPEAN PATENT APPLICATION published in accordance with Art. 158(3) EPC

- (43) Date of publication: 28.12.2005 Bulletin 2005/52
- (21) Application number: 04720254.4
- (22) Date of filing: 12.03.2004

- (51) Int Cl.7: C07D 309/10, C07D 405/04, C07D 405/10, C07D 407/04, C07D 407/10, C07D 409/04, C07D 409/10, C07D 409/14, C07D 413/10, C07D 417/10, C07D 495/04, A61K 31/351, A61K 31/381, A61K 31/404, A61K 31/41, A61K 31/4184, A61K 31/423, A61K 31/428, A61K 31/4433, A61K 31/49
- (86) International application number: PCT/JP2004/003324
- (87) International publication number: WO 2004/080990 (23.09.2004 Gazette 2004/39)
- (84) Designated Contracting States:

  AT BE BG CH CY CZ DE DK EE ES FI FR GB GR
  HU IE IT LI LU MC NL PL PT RO SE SI SK TR
  Designated Extension States:

  AL LT LV MK
- (30) Priority: 14.03.2003 JP 2003070297
- (71) Applicants:
  - Astellas Pharma Inc. Tokyo 103-8411 (JP)
  - Kotobuki Pharmaceutical Co., Ltd. Hanishina-gun, Nagano 389-0697 (JP)
- (72) Inventors:
  - IMAMURA, Masakazu, Astellas Pharma Inc. Chuo-ku, Tokyo 1038411 (JP)
  - MURAKAMI, Takeshi, Astellas Pharma Inc. Chuo-ku, Tokyo 1038411 (JP)
  - SHIRAKI, Ryota, Astellas Pharma Inc. Chuo-ku, Tokyo 1038411 (JP)
  - IKEGAI, Kazuhiro, Astellas Pharma Inc. Chuo-ku, Tokyo 1038411 (JP)

- SUGANE, Takashi, ASTELLAS PHARMA INC. Chuo-ku, Tokyo 1038411 (JP)
- IWASAKI, Fumiyoshi, ASTELLAS PHARMA INC. Chuo-ku, Tokyo 1038411 (JP)
- KUROSAKI, Eiji, ASTELLAS PHARMA INC. Chuo-ku, Tokyo 1038411 (JP)
- TOMIYAMA, Hiroshi, Kotobuki Pharma. Co. Ltd. Hanishina-gun, Nagano 3890697 (JP)
- NODA, Atsushi, Kotobuki Pharma. Co. Ltd. Hanishina-gun, Nagano 3890697 (JP)
- KITTA, Kayoko, Kotobuki Pharmaceutical Co. Ltd. Hanishina-gun, Nagano 3890697 (JP)
- KOBAYASHI, Yoshinori, Kotobuki Pharma. Co. Ltd. Hanishina-gun, Nagano 3890697 (JP)
- (74) Representative: HOFFMANN EITLE Patent- und Rechtsanwälte Arabellastrasse 4 D-81925 München (DE)

## (54) C-GLYCOSIDE DERIVATIVES AND SALTS THEREOF

(57) The present invention provides C-glycoside derivatives and salts thereof, wherein B ring is bonded to A ring via -X- and A ring is directly bonded to the glucose residue, and it is usable as a Na\*-glucose cotransporter inhibitor, especially for a therapeutic and/or preventive

agent for diabetes such as insulin-dependent diabetes (type 1 diabetes) and insulin-independent diabetes (type 2 diabetes), as well as diabetes related diseases such as an insulin-resistant diseases and obesity.

EP 1 609 785 A1

#### International application No. INTERNATIONAL SEARCH REPORT PCT/JP2004/003324 CLASSIFICATION OF SUBJECT MATTER C07D309/10, 405/04, 405/10, 407/04, 407/10, 409/04, 409/10, 409/14, 413/10, 417/10, 495/04, A61K31/351, 31/381, 31/4035, 31/404, 31/41, 31/4184, 31/423, 31/428, 31/4433, 31/497, Int.Cl7 According to International Patent Classification (IPC) or to both national classification and IPC Minimum documentation searched (classification system followed by classification symbols C07D309/10, 405/04, 405/10, 407/04, 407/10, 409/04, 409/10, 409/14, 413/10, 417/10, 495/04, A61K31/351, 31/381, 31/4035, 31/404, 31/41, 31/4184, 31/423, 31/428, 31/4433, 31/497, Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched Electronic data base consulted during the international search (name of data base and, where practicable, search terms used) CAPLUS(STN), CAOLD(STN), REGISTRY(STN) C. DOCUMENTS CONSIDERED TO BE RELEVANT Category\* Citation of document, with indication, where appropriate, of the relevant passages Relevant to claim No. 1-3.8 TODOULOU, O.G. et al., Synthesis and antiviral X activity of some new 1H-1,2,4-triazole deriva tives, European Journal of Medicinal Chemistry, 1994, Vol.29, pages 611 to 620 χ. WO 98/31697 A1 (SANKYO CO., LTD.), 1,2,8-11 23 July, 1998 (23.07.98), Full text & AU 9860249 A 1,2,8 BUCHANAN, J. Grant et al., Synthesis of C-Х glycosyltetrazoles related to 3-deoxy-D-arabino- heptulosonic acid 7-phosphate(DAHP); potential inhibitors of early steps in the shikimate pathway, Journal of the Chemical Society, Perkin Transactions 1, 1992, No.20, pages 2593 to 2601 Further documents are listed in the continuation of Box C. See patent family annex. later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention Special categories of cited documents: document defining the general state of the art which is not considered to be of particular relevance document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone earlier application or patent but published on or after the international filing date document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art document referring to an oral disclosure, use, exhibition or other means document published prior to the international filing date but later than the priority date claimed document member of the same patent family Date of the actual completion of the international search Date of mailing of the international search report 10 May, 2004 (10.05.04) 25 May, 2004 (25.05.04) Authorized officer Name and mailing address of the ISA/ Japanese Patent Office Telephone No. Facsimile No. Form PCT/ISA/210 (second sheet) (January 2004)

C (Continuation).	DOCUMENTS CONSIDERED TO BE RELEVANT		04/003324
Category*	Citation of document, with indication, where appropriate, of the relevan	nt passages	Relevant to claim N
X	JP 6-199695 A (Kabushiki Kaisha Yunie), 19 July, 1994 (19.07.94), Full text (Family: none)		1,8-11
x .	VARMA, Shambhu D. et al., Inhibiton of ler aldose reductase by flavonoids-their possirole in the prevention of diabetic catarac Biochemical Pharmacology, 1976, Vol.25, No pages 2505 to 2513	ble cts;	1,8-11
х	ANDRADE-CETTO, Adolfo et al., Hypoglycemic of Cecropia obtusifolia on streptozotocin rats, Journal of Ethnopharmacology, 2001, pages 145 to 149	diabetic	1,8-11
х	SHEN, Zhufang et al., Hypoglycemic effect combined use of puerarin and aspirin in mi Yaoxue Xuebao, 1985, Vol.20, No.11, pages 865	ice,	1,8-11
A	WO 01/27128 A1 (BRISTOL-MYERS SQUIBB CO.) 19 April, 2001 (19.04.01), Full text 6 JP 2003-511458 A 6 EP 1224195 A1 6 BR 2000014722 A 6 NO 2002001721 A		1-11
P,X	WO 2004/013118 A1 (Yamanouchi Pharmaceuti Co., Ltd.), 12 February, 2004 (12.02.04), Full text (Family: none)	cal	1,2,8-11
P,X	WO 03/087093 A1 (COUNCIL OF SCIENTIFIC AN INDUSTRIAL RESEARCH), 23 October, 2003 (23.10.03), Full text 6 US 6562794 B1	ID	1,8-11
P,X	WO 03/094928 A1 (DIAKRON PHARMACEUTICALS, 20 November, 2003 (20.11.03), Full text & US 2004/0006128 A1	INC.),	1,8-11
P, X	WO 03/082887 Al (COUNCIL OF SCIENTIFIC AN INDUSTRIAL RESEARCH), 09 October, 2003 (09.10.03), Full text & US 2003/0186898 Al & EP 1381619 Al & CN 1453286 A	ND .	1,8-11
	·	,	

## INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2004/003324

[3]
-----

	). DOCUMENTS CONSIDERED TO BE RELEVANT	Т		
Category*	Citation of document, with indication, where appropriate, of the relevant	passages	Relevant to claim No.	
P,X	US 6617313 B1 (COUNCIL OF SCIENTIFIC AND INDUSTRIAL RESEARCH), 09 September, 2003 (09.09.03), Full text 6 WO 03/080634 A1		1,8-11	
		:		
			•	
٠		ŀ		
		-		

Form PCT/ISA/210 (continuation of second sheet) (January 2004)

### INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP2004/003324

# Continuation of A. CLASSIFICATION OF SUBJECT MATTER (International Patent Classification (IPC))

Int.Cl<sup>7</sup> 31/5377, A61P3/10, 3/04

(According to International Patent Classification (IPC) or to both national classification and IPC)

## Continuation of B. FIELDS SEARCHED

Minimum documentation searched (International Patent Classification (IPC))

Int.Cl<sup>7</sup> 31/5377, A61P3/10, 3/04

Form PCT/ISA/210 (extra sheet) (January 2004)

### INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP2004/003324

Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)  This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:  1.  Claims Nos.: 12  because they relate to subject matter not required to be searched by this Authority, namely:  Claim 12 pertains to methods for treatment of the human body by therapy an thus relates to a subject matter which this International Searching Authorit is not required to search.  2.  Claims Nos.:  because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  Claims Nos.:  because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).  Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
<ol> <li>  Claims Nos.: 12         because they relate to subject matter not required to be searched by this Authority, namely:         Claim 12 pertains to methods for treatment of the human body by therapy an thus relates to a subject matter which this International Searching Authorit is not required to search.</li> <li>  Claims Nos.:         because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:</li> <li>  Claims Nos.:         because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).</li> </ol>
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:  3. Claims Nos.:  because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Scarching Authority found multiple inventions in this international application, as follows:
1. As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest  The additional search fees were accompanied by the applicant's protest.  No protest accompanied the payment of additional search fees.
Form PCT/ISA/210 (continuation of first sheet (2)) (January 2004)

,

79